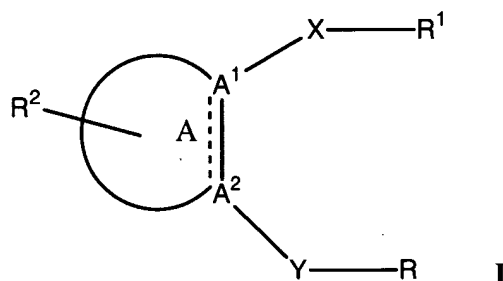


The listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims

Claim 1 (original): A compound of Formula I

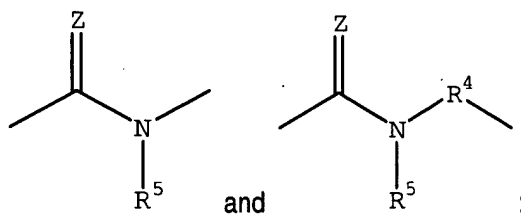


wherein each of A¹ and A² is independently C, or N;

wherein ring A is selected from

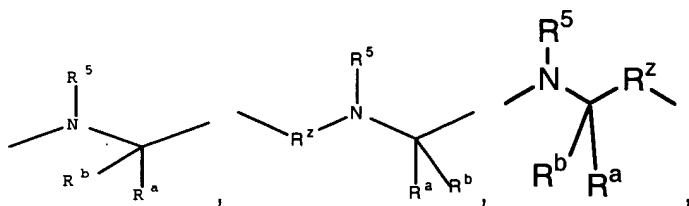
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

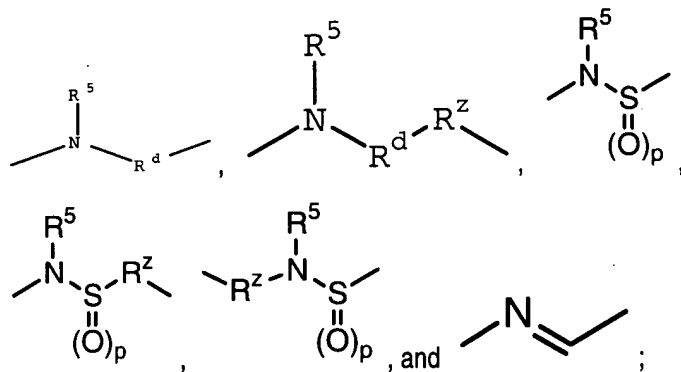
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4} -alkyl substituted with R^2 , or

wherein R^a and R^b together form C_3 - C_6 cycloalkyl;

wherein R^z is selected from C_1 - C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

- substituted or unsubstituted 5-6 membered heterocyclyl, and
- substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-11 membered fused heterocyclyl,
- cycloalkyl, and
- cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4 \text{ alkylenyl}R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally

substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3 - C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2 - C_4 alkynyl, C_2 - C_4 alkenyl and C_2 - C_4 alkynyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R^6 is selected from H or C_{1-6} -alkyl;

wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3 - C_6 cycloalkyl;

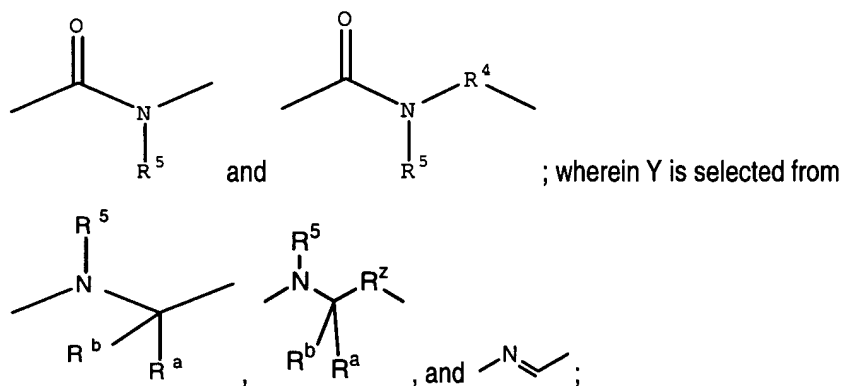
and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is -NHCH₂- and when R is 4-pyridyl;

further provided A is not pyridyl when X is -C(O)NH- and when Y is -NHCH₂- and when R is 4-pyridylpiperidin-4-yl, 1-tertbutylpiperidin-4-yl, 1-isopropylpiperidin-4-yl or 1-cycloalkylpiperidin-4-yl; further provided A is not pyridyl when X is -C(O)NH- and when R^1 is 4-[3-(3-pyridyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when Y is -NHCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

Claim 2 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

Claim 3 (original): Compound of Claim 2, and pharmaceutically acceptable salts thereof, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolyl and pyrazolyl; wherein X is selected from

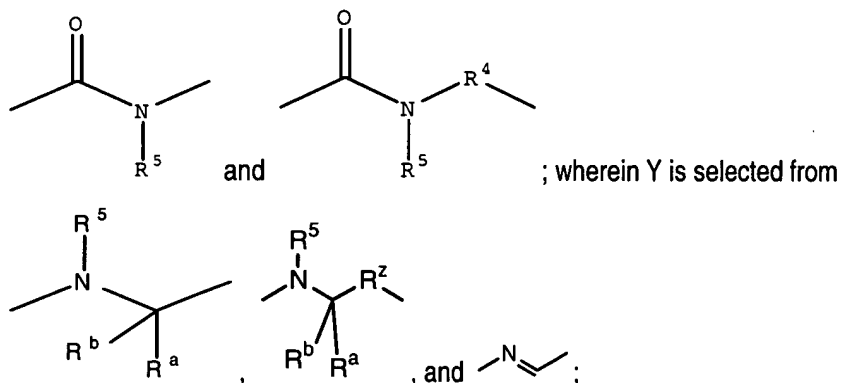


wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3 - C_4 cycloalkyl; wherein R^z is C_1 - C_2 alkynyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl

comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2 \text{ alkylenyl}R^3)$, $-(C_1-C_2 \text{ alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, C_{1-3} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-2} -haloalkyl; wherein R^3 is selected from H, C_{1-2} -alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2} -haloalkyl; wherein R^4 is C_{2-3} -alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an $-NH-$; and wherein R^5 is selected from H and C_{1-2} -alkyl.

Claim 4 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered heteroaryl.

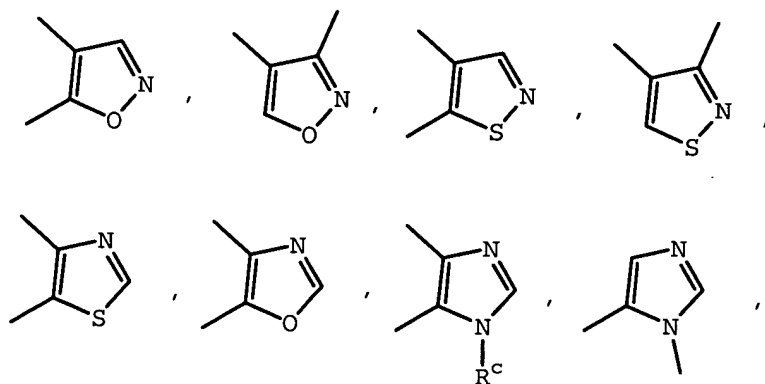
Claim 5 (original): Compound of Claim 4, and pharmaceutically acceptable salts thereof, wherein A is selected from pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X is selected from

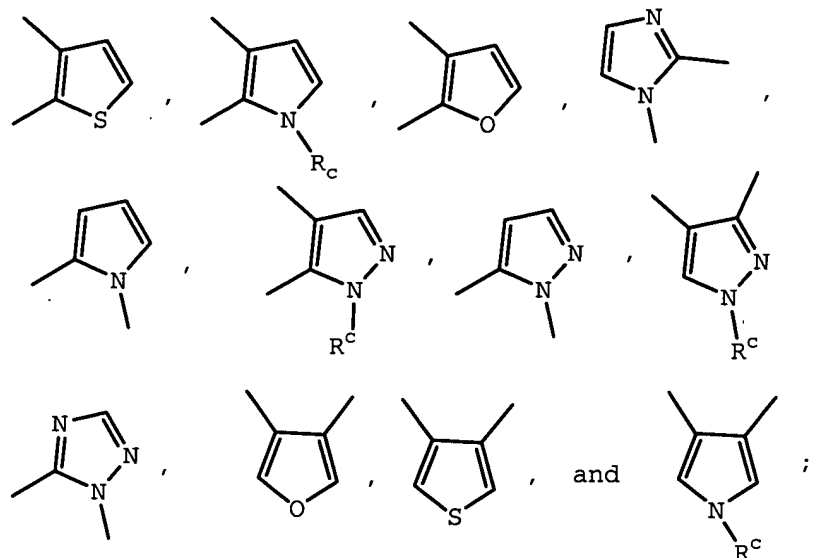


wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted

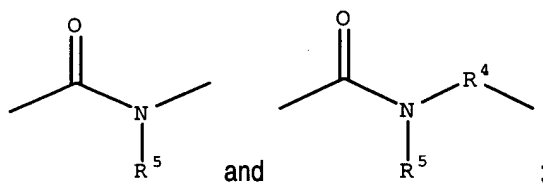
with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂ alkylenylR³), -(C₁-C₂ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl.

Claim 6 (original): Compound of Claim 1 wherein A is selected from

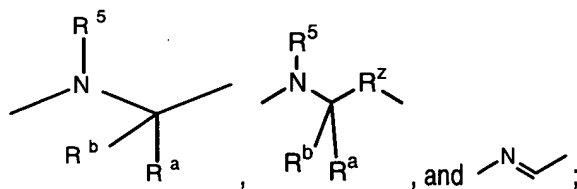




wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



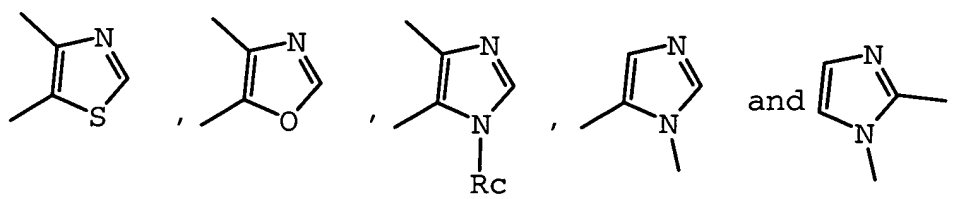
wherein Y is selected from



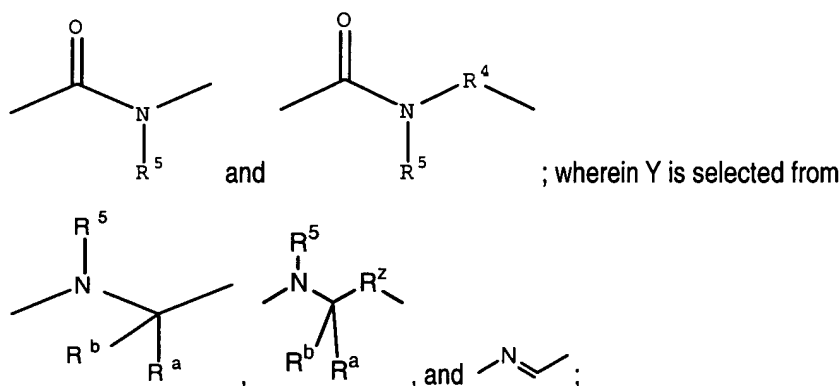
wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3 - C_4 cycloalkyl; wherein R^z is C_1 - C_2 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2 \text{ alkylenyl}R^3)$, $-(C_1-C_2 \text{ alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted

phenyl- $C_{1,2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_{1,2}$ -alkylenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, nitro and $C_{1,2}$ -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, $C_{1,3}$ -carboxyalkyl, nitro, $C_{2,3}$ -alkenyl, $C_{2,3}$ -alkynyl and $C_{1,2}$ -haloalkyl; wherein R^3 is selected from H, $C_{1,2}$ -alkyl, phenyl, C_3 - C_6 cycloalkyl and $C_{1,2}$ -haloalkyl; wherein R^4 is $C_{2,3}$ -alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and $C_{1,2}$ -alkyl.

Claim 7 (original): Compound of Claim 6 wherein A is selected from



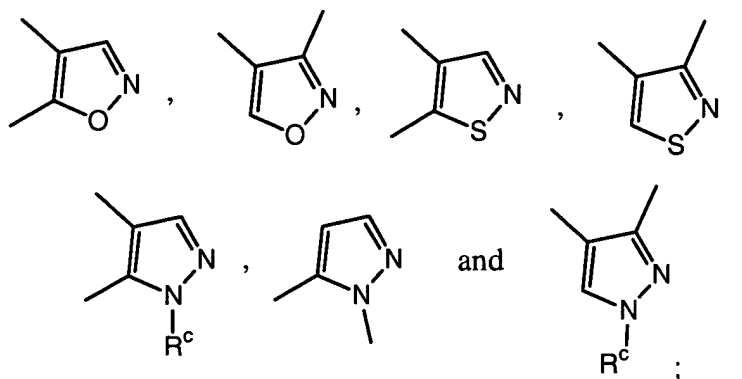
wherein R^C is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



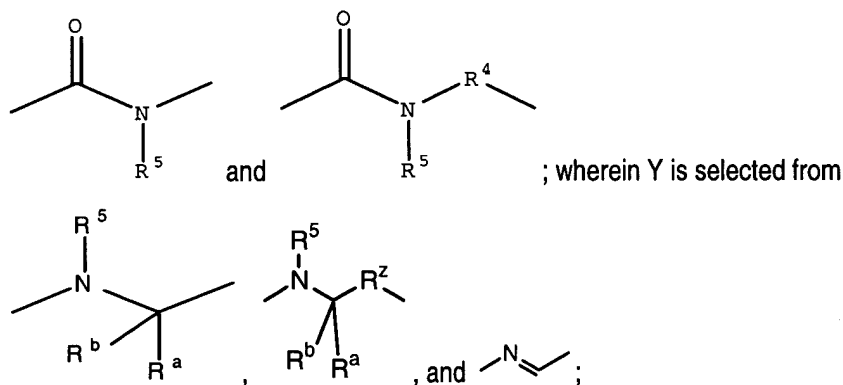
wherein R^a and R^b are independently selected from H, halo, and $C_{1,2}$ -alkyl; wherein R^Z is C_1 - C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, nitro and $C_{1,2}$ -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH($C_{1,2}$ -alkylenyl-R³), -($C_{1,2}$ -alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally

substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_1C_2 -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, C_{1-3} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-2} -haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3} -alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

Claim 8 (original): Compound of Claim 6 wherein A is selected from



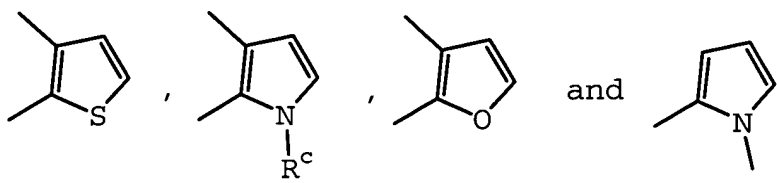
wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



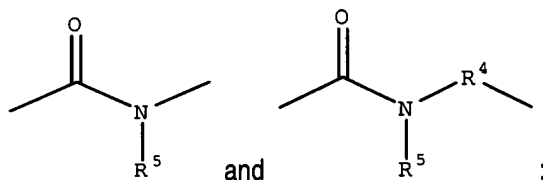
wherein R^a and R^b are independently selected from H, halo, and C_{1-2} -alkyl; wherein R^z is C_1 - C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is

substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2\text{-alkylenyl}-R^3)$, $-(C_1-C_2\text{-alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_1C_2 -alkylenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, nitro and $C_{1,2}$ -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, $C_{1,3}$ -carboxyalkyl, nitro, $C_{2,3}$ -alkenyl, $C_{2,3}$ -alkynyl and $C_{1,2}$ -haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is $C_{2,3}$ -alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

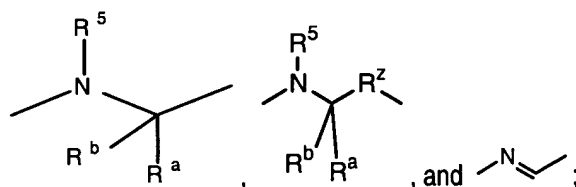
Claim 9 (original): Compound of Claim 6 wherein A is selected from



wherein R^2 is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



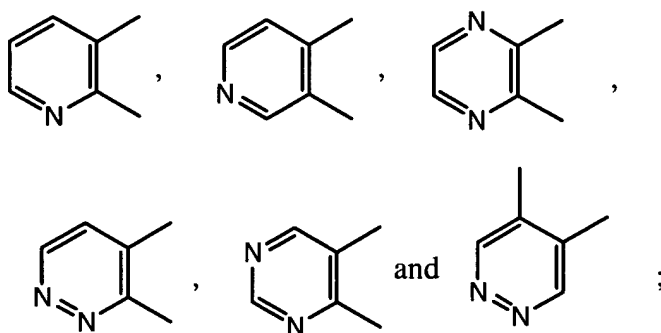
wherein Y is selected from



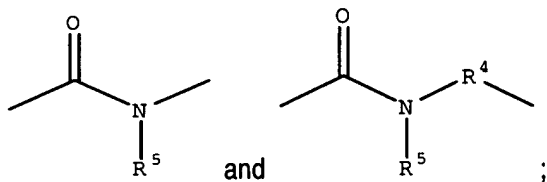
wherein R^3 and R^b are independently selected from H, halo, and $C_{1,2}$ -alkyl; wherein R^z is C_1-C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, $C_{1,2}$ -alkyl, cyano, $C_{1,2}$ -hydroxyalkyl, nitro and $C_{1,2}$ -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl,

2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂-alkylenyl-R³), -(C₁-C₂-alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1,2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁-C₂-alkylenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, nitro and C_{1,2}-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, C_{1,3}-carboxyalkyl, nitro, C_{2,3}-alkenyl, C_{2,3}-alkynyl and C_{1,2}-haloalkyl; wherein R³ is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R⁴ is C_{2,3}-alkylenyl; and wherein R⁵ is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

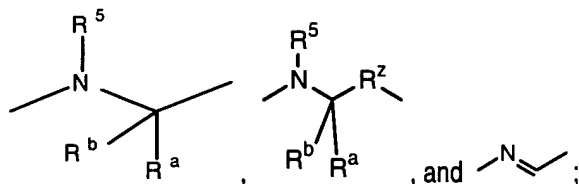
Claim 10 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from



wherein X is selected from



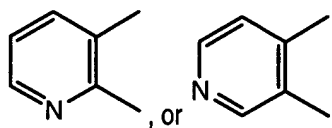
wherein Y is selected from



wherein R^a and R^b are independently selected from H, halo, and C_{1,2}-alkyl; wherein R² is C₁-C₂ alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-

pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent group selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2\text{-alkylenyl}-R^3)$, $-(C_1-C_2\text{-alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, C_{1-3} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-2} -haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3} -alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

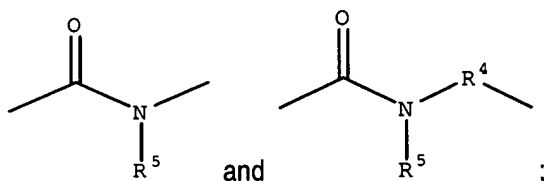
Claim 11 (original): Compound of Claim 10, and pharmaceutically acceptable salts thereof, wherein A is



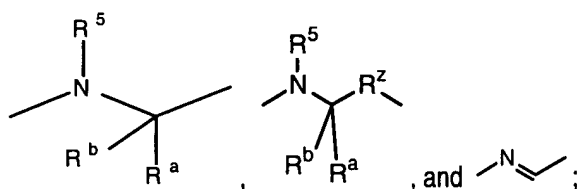
; wherein X is $-C(O)-NH-$; wherein Y is $-NH-CH_2-$; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 5-indazolyl, 4-pyrimidinyl and 4-pyridazinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzodioxanyl, and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, morpholinylmethyl, methylpiperidinylmethyl, methylpiperazinylmethyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6

membered heterocyclyl, optionally substituted phenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, C_{1,3}-carboxyalkyl, nitro, C_{2,3}-alkenyl, C_{2,3}-alkynyl and C_{1,2}-haloalkyl; wherein R³ is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R⁴ is C_{2,3}-alkylenyl; and wherein R⁵ is from H, methyl or ethyl.

Claim 12 (original): Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



wherein Y is selected from

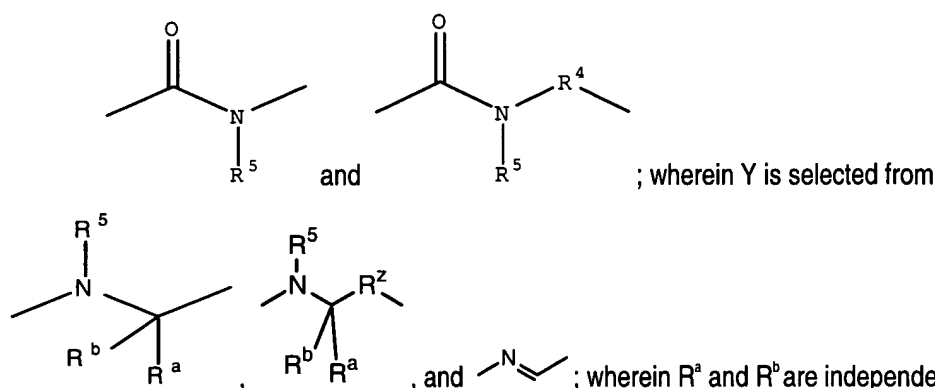


wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1,2}-alkyl substituted with R², or wherein R^a and R^b together form C₃-C₄ cycloalkyl; wherein R² is C₁-C₂ alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, nitro and C_{1,2}-haloalkyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂ alkylenylR³), -(C₁-C₂ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1,2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_{1,2}-alkylenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, nitro and C_{1,2}-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1,2}-alkyl, cyano, C_{1,2}-hydroxyalkyl, C_{1,3}-carboxyalkyl, nitro, C_{2,3}-alkenyl, C_{2,3}-alkynyl and C_{1,2}-haloalkyl; wherein R³ is selected from H, C_{1,2}-alkyl, phenyl, C₃-C₆ cycloalkyl and C_{1,2}-haloalkyl; wherein R⁴ is C_{2,3}-alkylenyl, where one of the CH₂ groups may be substituted with an

oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2} -alkyl; and pharmaceutically acceptable salts thereof.

Claim 13 (original): Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl, quinoxaliny and quinazolinyl; and pharmaceutically acceptable salts thereof.

Claim 14 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from



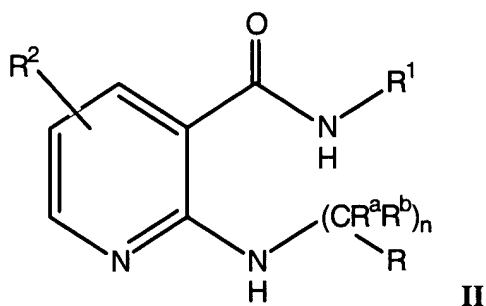
, and $=N-CH_2-$; wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2 \text{ alkylenyl})R^3$, $-(C_1-C_2 \text{ alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_1-C_2 -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -

hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl.

Claim 15 (original): Compound of Claim 14 wherein A is cyclopentadienyl or cyclopentenyl; and pharmaceutically acceptable salts thereof.

Claim 16 (original): Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from
 N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;
 N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;
 N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;
 N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;
 N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 {6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-(3-fluorophenyl)carboxamide;
 N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;
 N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide; and
 N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide.

Claim 17 (original): A compound of Claim 1 having Formula II



wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl and C_{1-6} -alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted phenyl, C_{1-6} -haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C_{1-6} -haloalkyl, and C_{1-6} -alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6} -alkyl,

C_{1-6} -haloalkyl,

C_{1-6} -alkoxy,

C_{1-6} -haloalkoxy,

C_{1-6} -carboxyalkyl,

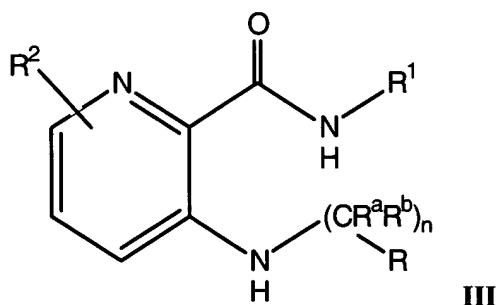
unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6} -alkyl;
and pharmaceutically acceptable isomers and salts thereof.

Claim 18 (original): Compound of Claim 17 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalyl, where R is unsubstituted or substituted with one or more substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and
wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected
from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
and pharmaceutically acceptable salts thereof.

Claim 19 (original): A compound of Claim 1 having Formula III



wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;
wherein n is 1-2;
wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,
where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted
aryl,
5-6 membered heteroaryl and
9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl-C₁-C₂-alkylenyl, C₁₋₆-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 20 (original): Compound of Claim 19 wherein R^a and R^b are H;

wherein n is 1-2;

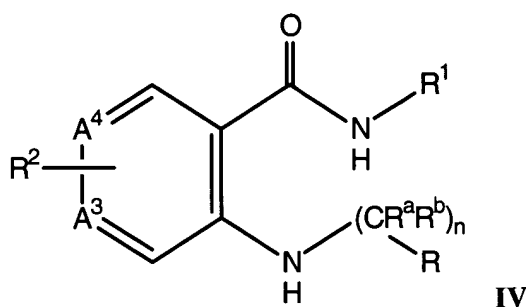
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R¹ is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 21 (original): A compound of Claim 1 having Formula IV



wherein A^3 is selected from CR^2 and N;

wherein A^4 is selected from CR^2 and N; provided one of A^3 and A^4 is not CR^2 ;

wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl and C_{1-6} -alkoxy;

wherein R^1 is selected from unsubstituted or substituted aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl-

C_{1-2} -alkylenyl, C_{1-6} -haloalkoxy, optionally substituted phenoxy, benzyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C_{1-6} -haloalkyl, and C_{1-6} -alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 22 (original): Compound of Claim 21 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozaliny, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

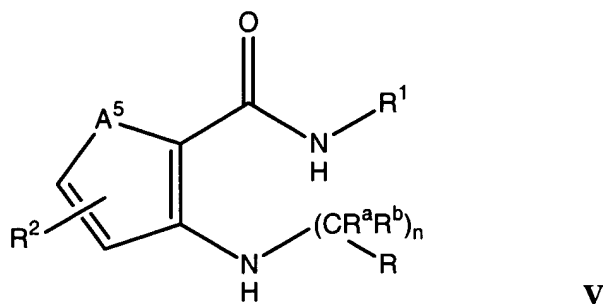
wherein R¹ is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozaliny, tetrahydroquinoliny, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R² is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

Claim 23 (original): A compound of Claim 1 having the Formula V



wherein A^5 is selected from S, O and NR^6 ;

wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl and C_{1-6} -alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted phenyl, C_{1-6} -haloalkoxy, optionally substituted phenoxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C_{1-6} -haloalkyl, and C_{1-6} -alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6} -alkyl,

C_{1-6} -haloalkyl,

C_{1-6} -alkoxy,

C_{1-6} -haloalkoxy,

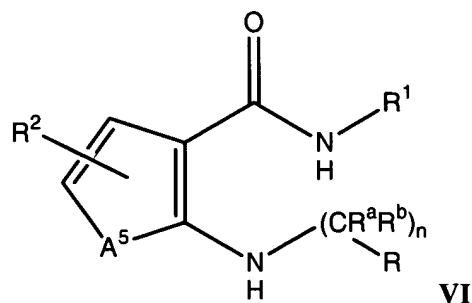
C_{1-6} -carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and
 wherein R^6 is H or C_{1-6} -alkyl;
 and pharmaceutically acceptable isomers and salts thereof.

Claim 24 (original): Compound of Claim 23 wherein R^a and R^b are H;
 wherein n is 1-2;
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxaliny, where R is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
 wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxaliny, tetrahydroquinoliny, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and
 wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
 and pharmaceutically acceptable salts thereof.

Claim 25 (original): A compound of Claim 1 having the Formula



wherein A^5 is selected from S, O and NR^6 ;
 wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;
 wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, C₁₋₆-haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl-C₁C₂-alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 26 (original): Compound of Claim 25 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected

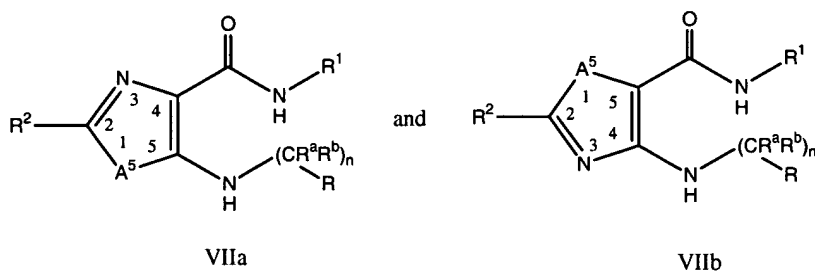
from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R¹ is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl,

benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 27 (original): A compound of Claim 1 having the Formula



wherein A^5 is selected from S, O and NR^6 ;

wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl and C_{1-6} -alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted phenyl, C_{1-6} -haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C_{1-6} -haloalkyl, and C_{1-6} -alkoxy;

wherein R² is one or more substituents independently selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 28 (original): Compound of Claim 27 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

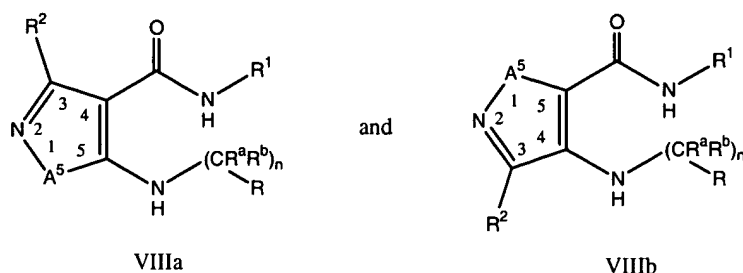
wherein R¹ is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R² is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

Claim 29 (original): Compound of Claim 1 of the Formulas



wherein A⁵ is selected from S, O and NR⁶;

wherein R^a and R^b are independently selected from H, halo, C₁₋₄-alkyl and -N(R⁶)₂;

wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, C₁₋₆-haloalkoxy, optionally substituted phenoxy,

benzyl, optionally substituted 5-6 membered heterocyclyl-C₁C₂-alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

unsubstituted or substituted aryl and

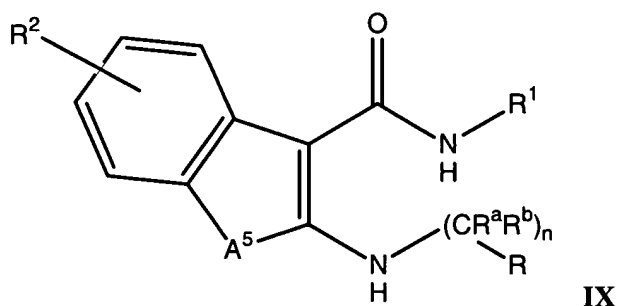
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 30 (original): Compound of Claim 29 wherein R^a and R^b are H;
 wherein n is 1-2;
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalanyl, where R is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
 wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalanyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and
 wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
 and pharmaceutically acceptable salts thereof.

Claim 31 (original): Compound of Claim 1 of the Formula



wherein A^5 is selected from S, O and NR^6 ;
 wherein R^a and R^b are independently selected from H, halo, C_{1-4} -alkyl and $-N(R^6)_2$;
 wherein n is 1-2;
 wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, C₁₋₆-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocycl-C₁-C₂-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁵ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 32 (original): Compound of Claim 31 wherein R^a and R^b are H;

wherein n is 1-2;

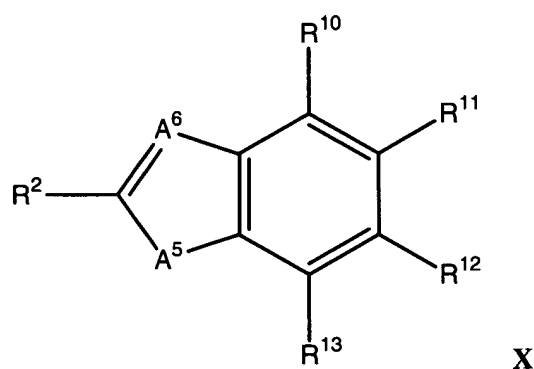
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R¹ is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 33 (original): Compound of Claim 1 of the Formula



wherein A^5 is selected from S, O and NR^6 ;

wherein A^6 is selected from CR^2 and N;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl and C_{1-6} -alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted phenyl, C_{1-6} -haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, optionally substituted heteroaryl,

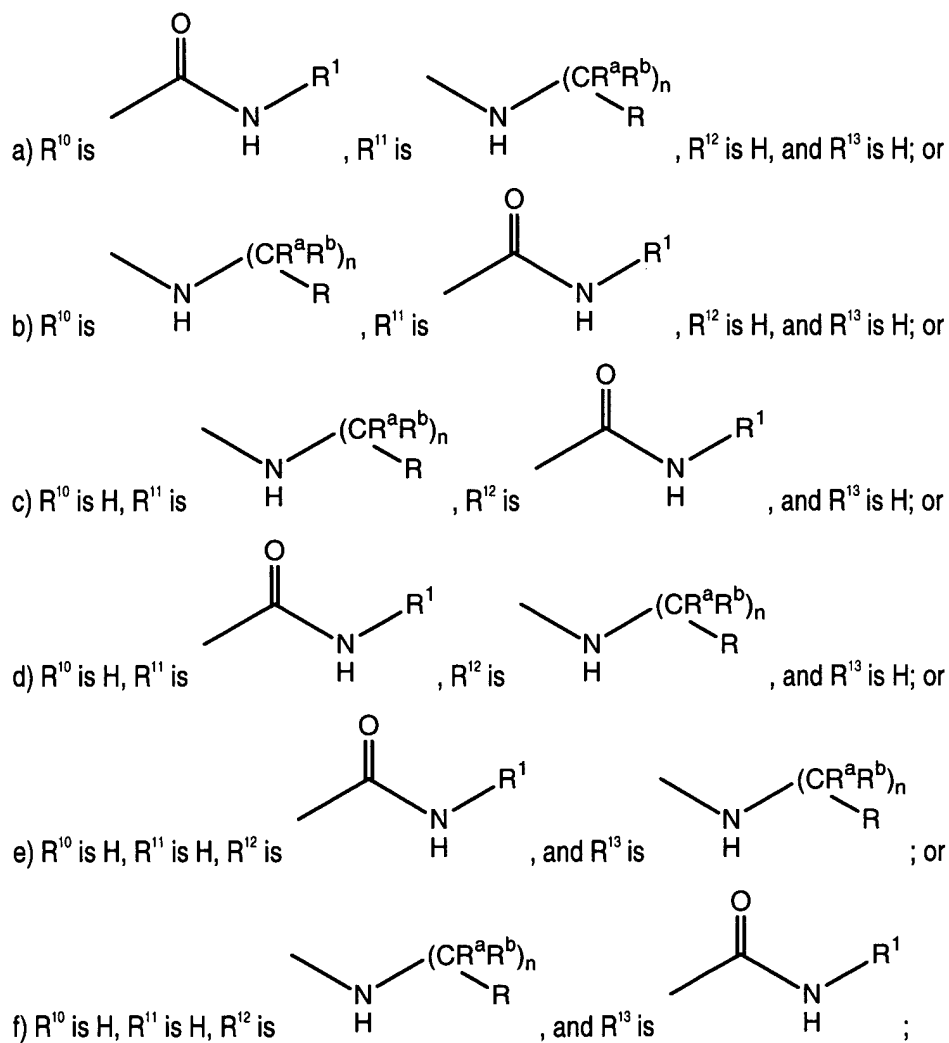
optionally substituted heteroaryloxy, C_{1-6} -haloalkyl, and C_{1-6} -alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

wherein



wherein R^a and R^b are independently selected from H, halo, C₁₋₄-alkyl and -N(R⁶)₂; and

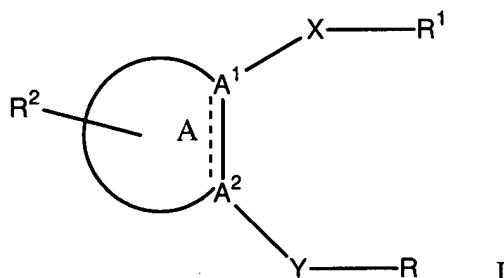
wherein n is 1-2;

and pharmaceutically acceptable isomers and salts thereof.

Claim 34 (original): Compound of Claim 33 wherein R^a and R^b are H;
 wherein n is 1-2;
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
 wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenoxy, methoxy and ethoxy; and
 wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
 and pharmaceutically acceptable salts thereof.

Claim 35 (currently amended): A pharmaceutical composition comprising a ~~pharmaceutically acceptable~~ an inert carrier and an effective amount of a compound as in ~~from any one~~ of Claims 1-34.

Claim 36 (original): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Formula I

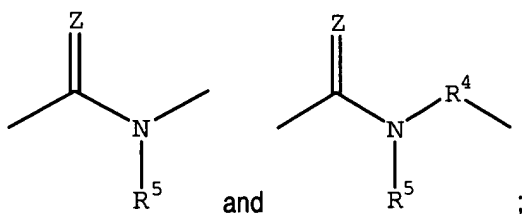


wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

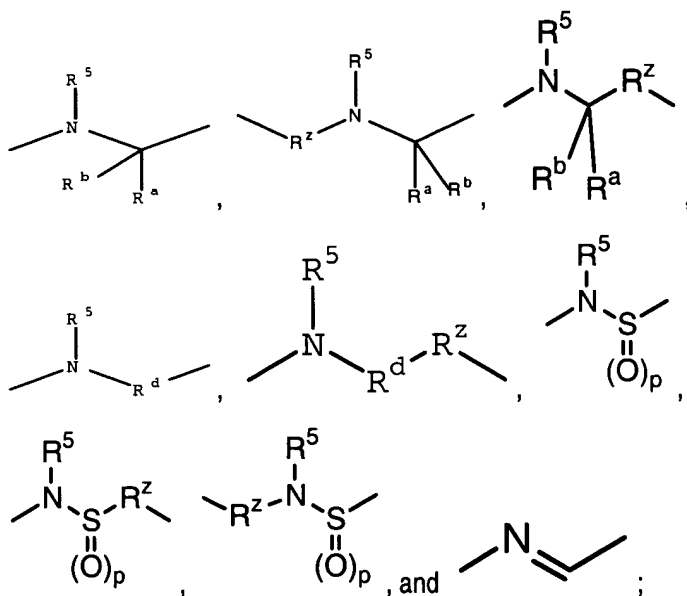
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R², or

wherein R^a and R^b together form C₃-C₆ cycloalkyl;

wherein R² is selected from C₁-C₄ alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄ alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R³ is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C₃-C₆ cycloalkyl, and lower haloalkyl;

wherein R⁴ is independently selected from C₂-C₄ alkylenyl, C₂-C₄ alkenylenyl and C₂-C₄ alkynylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;

wherein R⁵ is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R⁶ is selected from H or C₁₋₆-alkyl;

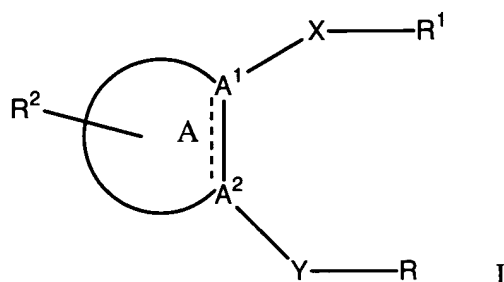
wherein R¹⁴ is selected from H, phenyl, 5-6 membered heterocyclyl and C₃-C₆ cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

Claim 37 (original): The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

Claim 38 (original): A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I

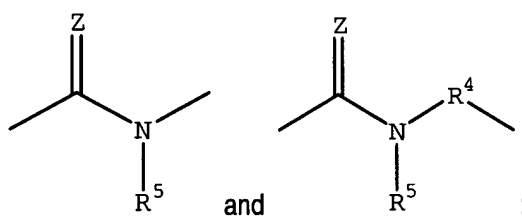


wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

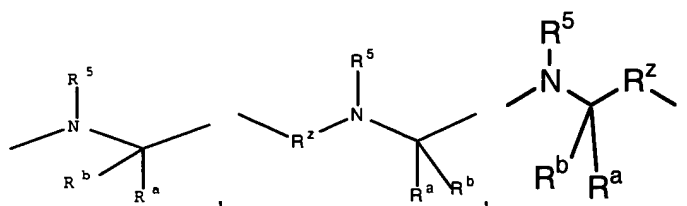
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

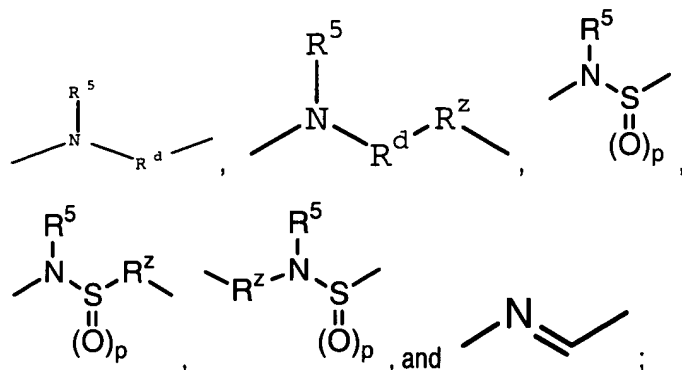
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4} -alkyl substituted with R^2 , or

wherein R^a and R^b together form C_3 - C_6 cycloalkyl;

wherein R^z is selected from C_1 - C_4 alkynyl, where one of the CH_2 groups may be substituted with an oxygen atom or an $-NH$;

wherein R^d is cycloalkyl;

wherein R is selected from

- substituted or unsubstituted 5-6 membered heterocyclyl, and
- substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-11 membered fused heterocyclyl,
- cycloalkyl, and
- cycloalkenyl,

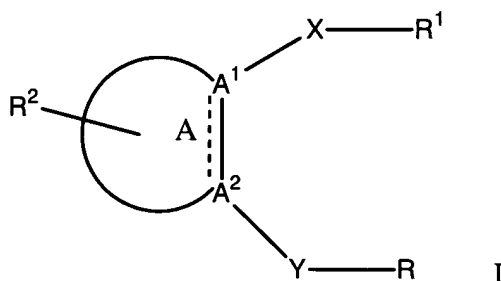
wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4 \text{ alkynyl}R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkynyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkynyl, optionally

substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
 wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3 - C_6 cycloalkyl, and lower haloalkyl;
 wherein R^4 is independently selected from C_2 - C_4 alkylenyl, C_2 - C_4 alkenylenyl and C_2 - C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;
 wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and
 wherein R^6 is selected from H or C_{1-6} -alkyl;
 wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3 - C_6 cycloalkyl;
 and pharmaceutically acceptable salts thereof;
 provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and
 further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

Claim 39 (canceled).

Claim 40 (original): A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from

- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄ alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R³ is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C₃-C₆ cycloalkyl, and lower haloalkyl;

wherein R⁴ is independently selected from C₂-C₄ alkylenyl, C₂-C₄ alkenylenyl and C₂-C₄ alkynylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;

wherein R⁵ is selected from H, lower alkyl, phenyl and lower aralkyl; and

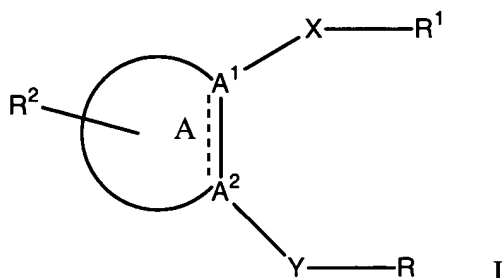
wherein R⁶ is selected from H or C₁₋₆-alkyl;

wherein R¹⁴ is selected from H, phenyl, 5-6 membered heterocyclyl and C₃-C₆ cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

Claim 41 (original): A method of treating proliferative disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I

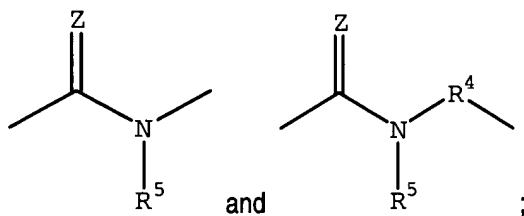


wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

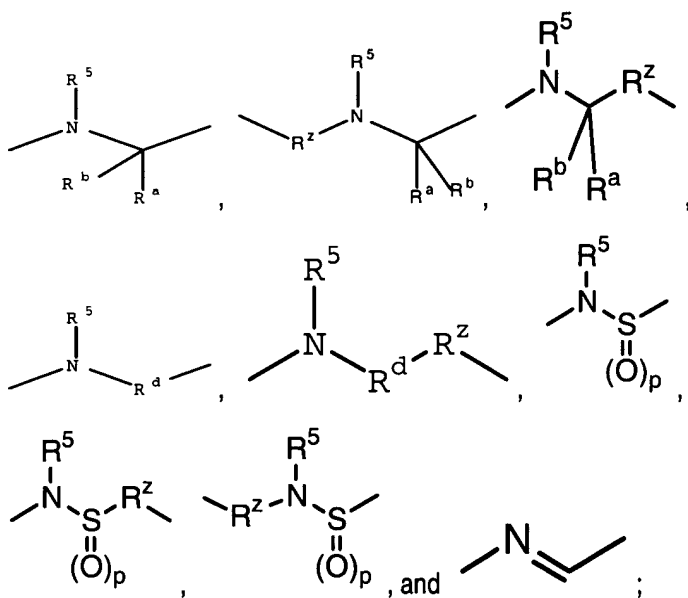
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, -NHR^6 and C_{1-4} -alkyl substituted with R^2 , or

wherein R^a and R^b together form $\text{C}_3\text{-C}_6$ cycloalkyl;

wherein R^z is selected from $\text{C}_1\text{-C}_4$ alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH- ;

wherein R^d is cycloalkyl;

wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄ alkenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R³ is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C₃-C₆ cycloalkyl, and lower haloalkyl;

wherein R⁴ is independently selected from C₂-C₄ alkenyl, C₂-C₄ alkenylenyl and C₂-C₄ alkynylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;

wherein R⁵ is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R⁶ is selected from H or C₁₋₆-alkyl;

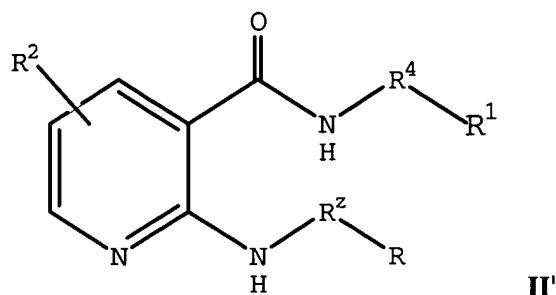
wherein R¹⁴ is selected from H, phenyl, 5-6 membered heterocyclyl and C₃-C₆ cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

Claim 42 (currently amended): Method of Claim 41+2 wherein the disorder is inflammation or an inflammation-related disorder.

Claim 43 (original): A compound of Claim 1 having Formula II'



wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

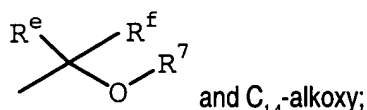
where substituted R is substituted with one or more substituents selected from halo, amino, oxo, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted

- aryl,
- cycloalkyl,
- 5-6 membered heteroaryl and
- 9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkyl, optionally substituted 4-6 membered heterocyclyl-C₂C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, -NHC(O)NH₂, alkylcarbonylamino, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-

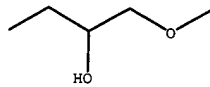
alkoxy- $C_{1,3}$ -alkoxy, $C_{1,4}$ -alkoxycarbonyl, $C_{1,4}$ -alkoxycarbonylamino- $C_{1,4}$ -alkyl, $C_{1,4}$ -hydroxyalkyl,



wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
 $C_{1,6}$ -alkyl,
 $C_{1,6}$ -haloalkyl,
 $C_{1,6}$ -alkoxy,
 $C_{1,2}$ -alkylamino,
aminosulfonyl,
 $C_{3,6}$ -cycloalkyl,
cyano,
 $C_{1,2}$ -hydroxyalkyl,
nitro,
 $C_{2,3}$ -alkenyl,
 $C_{2,3}$ -alkynyl,
 $C_{1,6}$ -haloalkoxy,
 $C_{1,6}$ -carboxyalkyl,
5-6-membered heterocyclyl- $C_{1,6}$ -alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, $C_{1,4}$ -alkyl, and



wherein R^2 is selected from $C_{1,2}$ -alkyl, $C_{2,6}$ -branched alkyl, $C_{2,4}$ -branched haloalkyl, amino- $C_{1,4}$ -alkyl and $C_{1,2}$ -alkylamino- $C_{1,2}$ -alkyl;

wherein R^e and R^f are independently selected from H and $C_{1,2}$ -haloalkyl; and

wherein R^7 is selected from H, $C_{1,3}$ -alkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,3}$ -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1,3}$ -alkyl, $C_{1,3}$ -alkylamino- $C_{1,3}$ -alkyl, $C_{1,3}$ -alkoxy- $C_{1,2}$ -alkyl and $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkyl;

provided R^2 is not H, or provided R^1 is not heteroaryl or aryl, or provided R is substituted with optionally substituted heterocyclyl- $C_{1,6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1,6}$ -alkylamino, optionally substituted

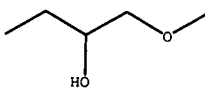
heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, or optionally substituted heterocyclyl-C₂₋₄-alkynyl, or provided R¹ is substituted with optionally substituted phenyloxy, optionally substituted 5-6 membered heterocyclyloxy, optionally substituted 5-6 membered heterocyclylsulfonyl, optionally substituted 5-6 membered heterocyclylamino, optionally substituted 5-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1,4}-alkylcarbonyl, C_{1,3}-alkylamino-C_{1,3}-alkoxy, or C_{1,3}-alkylamino-C_{1,3}-alkoxy-C_{1,3}-alkoxy; further provided R is not 3-pyridyl when R⁵ is CH₂;

and pharmaceutically acceptable isomers and derivatives thereof.

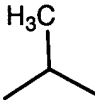
Claim 44 (original): Compound of Claim 43 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydrobenzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-

hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

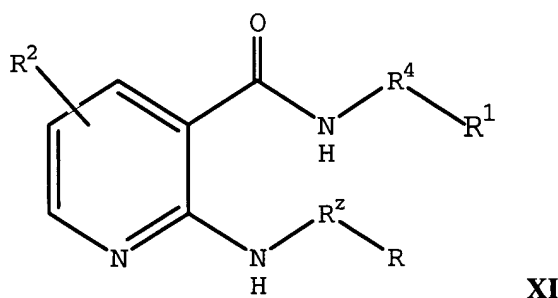
wherein R^4 is selected from a direct bond, ethyl, butyl, and



; and

wherein R^2 is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 45 (original): A compound of Claim 1 having Formula XI



XI

wherein R is selected from

- unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkylamino, optionally substituted heterocyclyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -alkoxy, and optionally substituted heterocyclyl- C_{2-4} -alkynyl;

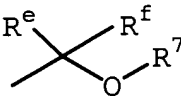
wherein R^1 is a ring selected from unsubstituted or substituted

4-6 membered saturated or partially un-saturated monocyclic heterocyclyl,

9-10 membered saturated or partially un-saturated bicyclic heterocyclyl, and

13-14 membered saturated or partially un-saturated tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkyl, optionally substituted 4-6 membered heterocyclyl-C₂C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkoxy, optionally substituted 4-6 membered heterocyclisulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl,

C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₂-alkylamino,

aminosulfonyl,

C₃₋₆-cycloalkyl,

cyano,

C₁₋₂-hydroxyalkyl,

nitro,

C₂₋₃-alkenyl,

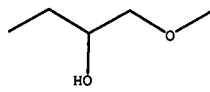
C₂₋₃-alkynyl,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

5-6-membered heterocyclyl-C₁₋₆-alkylamino,

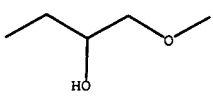
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

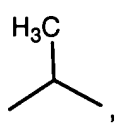


wherein R^4 is selected from a direct bond, C_{1-4} -alkyl, and ;
wherein R^2 is selected from C_{1-2} -alkyl, C_{2-6} -branched alkyl, C_{2-4} -branched haloalkyl, amino- C_{1-4} -alkyl and C_{1-2} -alkylamino- C_{1-2} -alkyl;
wherein R^e and R^f are independently selected from H and C_{1-2} -haloalkyl; and
wherein R^7 is selected from H, C_{1-3} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-3} -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-3} -alkyl, C_{1-3} -alkoxy- C_{1-2} -alkyl and C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkyl;
and pharmaceutically acceptable isomers and derivatives thereof.

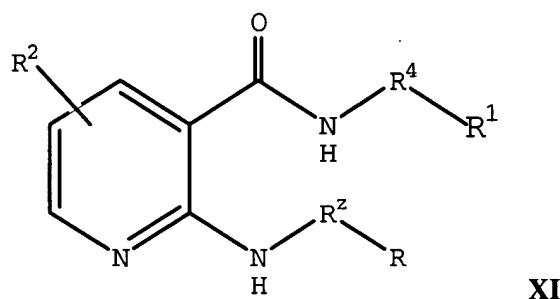
Claim 46 (original): A compound of Claim 45 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1H-indolyl, dihydro-benzimidazolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, and tetrahydroquinolinyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-

isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methylpyrrol-2-ylmethoxy, 1-isopropylpyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and ; and

wherein R^z is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 47 (original): A compound of Claim 1 having Formula XI



wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkylamino, optionally substituted heterocyclyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -alkoxy, and optionally substituted heterocyclyl- C_{2-4} -alkynyl;

wherein R^1 is selected from unsubstituted or substituted aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkyl, optionally substituted 4-6 membered heterocyclyl-C₂C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclioxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkoxy, optionally substituted 4-6 membered heterocyclisulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-

alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently selected from

halo,

hydroxy,

amino,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₂-alkylamino,

aminosulfonyl,

C₃₋₆-cycloalkyl,

cyano,

C₁₋₂-hydroxyalkyl,

nitro,

C₂₋₃-alkenyl,

C₂₋₃-alkynyl,

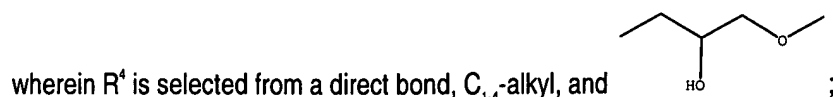
C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

5-6-membered heterocyclyl-C₁₋₆-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered heterocyclyl;



wherein R² is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl, C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-alkylamino-C₁₋₂-alkyl;

wherein R⁶ and R¹ are independently selected from H and C₁₋₂-haloalkyl; and

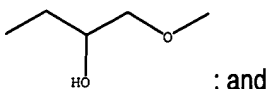
wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁-C₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; and pharmaceutically acceptable isomers and derivatives thereof.

Claim 48 (original): A compound of Claim 47 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothieryl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-

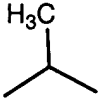
di(trifluoromethyl)-1-(piperidinyloxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

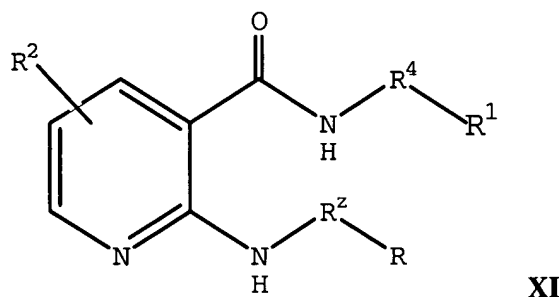
wherein R^4 is selected from a direct bond, ethyl, butyl, and



; and

wherein R^2 is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 49 (original): A compound of Claim 1 having Formula XI



XI

wherein R is selected from

- unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkylamino, optionally substituted heterocyclyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -alkoxy, and optionally substituted heterocyclyl- C_{2-4} -alkynyl;

wherein R¹ is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁₋₂-

haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkyl, optionally substituted 4-6

membered heterocyclyl-C₂-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally

substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6

membered heterocyclyl-C₁-C₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally

substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl,

optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro,

amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-

alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-

alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₂-alkylamino,

aminosulfonyl,

C₃₋₆-cycloalkyl,

cyano,

C₁₋₂-hydroxyalkyl,

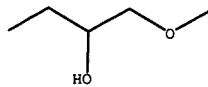
nitro,

C₂₋₃-alkenyl,

C₂₋₃-alkynyl,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,
 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 5-6 membered heterocyclyl;



wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and

wherein R² is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl, C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-alkylamino-C₁₋₂-alkyl;

wherein R⁶ and R¹ are independently selected from H and C₁₋₂-haloalkyl; and

wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

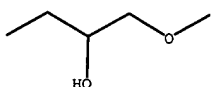
provided R¹ is substituted with optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, or C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy; further provided R is not 3-pyridyl when R⁵ is CH₂;

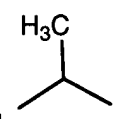
and pharmaceutically acceptable isomers and derivatives thereof.

Claim 50 (original): A compound of Claim 49 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothieryl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-

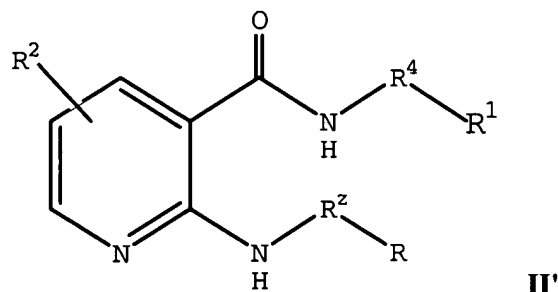
4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R⁴ is selected from a direct bond, ethyl, butyl, and ; and

wherein R² is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 51 (original): A compound of Claim 1 having Formula II'



wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered non-nitrogen-containing heterocyclyl, and

b) unsubstituted or substituted 9- or 10-membered fused partially unsaturated heterocyclyl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁C₄-alkylenyl, C₁₋₂-

haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁C₄-alkyl, optionally substituted 4-6

membered heterocyclyl-C₂C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally

substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6

membered heterocyclyl-C₁C₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally

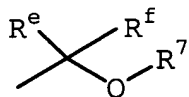
substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl,

optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro,

amino, hydroxy, oxo, -NHC(O)NH₂, alkylcarbonylamino, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl,

halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-

alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,



and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

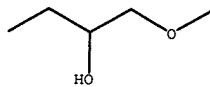
hydroxy,

amino,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

C_{1-6} -alkoxy,
 C_{1-2} -alkylamino,
 aminosulfonyl,
 C_{3-6} -cycloalkyl,
 cyano,
 C_{1-2} -hydroxyalkyl,
 nitro,
 C_{2-3} -alkenyl,
 C_{2-3} -alkynyl,
 C_{1-6} -haloalkoxy,
 C_{1-6} -carboxyalkyl,
 5-6-membered heterocyclyl- C_{1-6} -alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 5-6 membered heterocyclyl;



wherein R^4 is selected from a direct bond, C_{1-4} -alkyl, and

wherein R^2 is selected from C_{1-2} -alkyl, C_{2-6} -branched alkyl, C_{2-4} -branched haloalkyl, amino- C_{1-4} -alkyl and C_{1-2} -alkylamino- C_{1-2} -alkyl;

wherein R^6 and R^1 are independently selected from H and C_{1-2} -haloalkyl; and

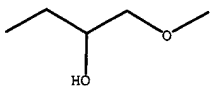
wherein R^7 is selected from H, C_{1-3} -alkyl, optionally substituted phenyl- C_{1-3} -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, C_{1-3} -alkoxy- C_{1-2} -alkyl and C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkyl;

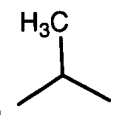
and pharmaceutically acceptable isomers and derivatives thereof.

Claim 52 (original): A compound of Claim 50 wherein R is selected from 2,3-dihydrobenzofuryl, and tetrahydropyran, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indenyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl,

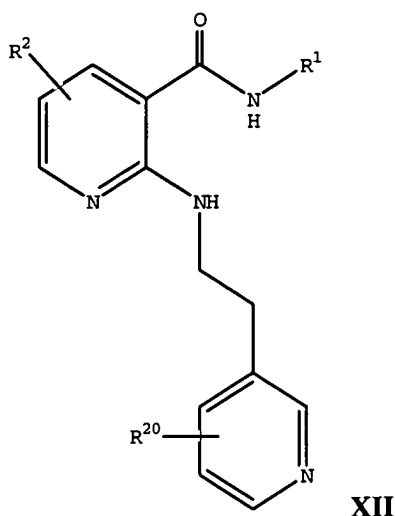
Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and ; and

wherein R^2 is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 53 (original): A compound of Claim 1 having Formula XII



wherein R¹ is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkyl, optionally substituted 4-6 membered heterocyclyl-C₂-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-

alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C_{1-6} -alkyl,
 C_{1-6} -haloalkyl,
 C_{1-6} -alkoxy,
 $C_{1,2}$ -alkylamino,
 aminosulfonyl,
 C_{3-6} -cycloalkyl,
 cyano,
 $C_{1,2}$ -hydroxyalkyl,
 nitro,
 $C_{2,3}$ -alkenyl,
 $C_{2,3}$ -alkynyl,
 C_{1-6} -haloalkoxy,
 C_{1-6} -carboxyalkyl,
 5-6-membered heterocyclyl- C_{1-6} -alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^e and R^f are independently selected from H and $C_{1,2}$ -haloalkyl;

wherein R^7 is selected from H, $C_{1,3}$ -alkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,3}$ -alkyl,
 optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1,3}$ -alkyl,
 $C_{1,3}$ -alkoxy- $C_{1,2}$ -alkyl and $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkyl; and

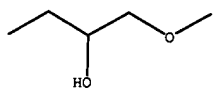
wherein R^{20} is one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy,
 optionally substituted heterocyclyl- C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkylamino, optionally
 substituted heterocyclyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- $C_{2,4}$ -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -
 alkoxy- C_{1-6} -alkoxy, and optionally substituted heterocyclyl- $C_{2,4}$ -alkynyl;
 and pharmaceutically acceptable isomers and derivatives thereof.

Claim 54 (original): Compound of Claim 53 wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl,
 indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-
 dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl,
 naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-
 triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl,
 benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or
 substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl,
 Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl,

morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and



wherein R^2 is selected from methylenyl, ethylenyl, , and aminoethylenyl; and

wherein R^{20} is one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl,

trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy;

and pharmaceutically acceptable derivatives thereof.

Claim 55 (original): Compound of Claim 1 and pharmaceutically acceptable derivatives thereof selected from

N-[3-(Isopropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Isoquinolyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-Isopropylphenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(Methylpropyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 {2-[(2-(3-Pyridyl)ethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;
 N-[5-(tert-Butyl)isoxazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[5-(tert-Butyl)-1-methylpyrazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)(1,3-thiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(4-Hydroxybutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[2-(4-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 5-Bromo-N-[2-(4-chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
 N-[2-(4-Phenoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
 N-[2-(4-Methoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-Hydroxy-3-ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-(tert-Butyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3-(Trifluoromethyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3-Ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3,4-Dimethylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(1,3-Benzodioxol-5-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-Methylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-Hydroxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-Bromophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(4-(Fluorosulfonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(3,5-(Dimethoxy)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(2,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
 N-[2-(2-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(2-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-[2-(4-(Aminosulphonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-[2-(2-Thienyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-[2-(Pyridin-2-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-[2-(Pyridin-3-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-[2-(Pyridin-4-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-(4-Phenylbutyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
N-(2-Hydroxy-3-phenoxypropyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
[6-Chloro-5-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)]-*N*-[4-(isopropyl)phenyl]carboxamide;
[5-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)]-*N*-[4-(isopropyl)phenyl]carboxamide;
2-[(Pyridin-4-ylmethyl)amino]-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl](3-pyridyl)carboxamide;
N-(3,4-Dichlorophenyl)[6-[(2-morpholin-4-ylethyl)amino]-2-[(4-pyridylmethyl)amino](3-pyridyl)]carboxamide;
N-[4-(Morpholin-4-ylmethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-{2-[(*tert*-Butoxy)carbonylamino]ethyl}phenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(2-Aminoethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(*tert*-Butyl)-3-nitrophenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[3-Amino-4-(*tert*-butyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(Isopropyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(3-Aminosulfonyl-4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[3-[(4-Methylpiperazinyl)sulfonyl]phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(1,1,2,2,2-Pentafluoroethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(1,1,2,2,3,3,4,4,4-Nonafluorobutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(Isopropyl)phenyl]{2-[(2-(1,2,4-triazolyl)ethyl)amino](3-pyridyl)}carboxamide;
(2-[(2-(2-Pyridylamino)ethyl)amino](3-pyridyl))-*N*-[3-(trifluoromethyl)phenyl]carboxamide;
(2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl))-*N*-[3-(trifluoromethyl)phenyl]carboxamide;
2-[(Pyridin-4-ylmethyl)-amino]-*N*-(3-trifluoromethyl-phenyl)-nicotinamide
{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-*N*-(8-quinolyl)carboxamide hydrochloride;
N-[4-(4-Chlorophenoxy)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-*N*-(2,3,4-trifluorophenyl)carboxamide hydrochloride;
N-(2-Naphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-(2-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-*N*-(5,6,7,8-tetrahydronaphthyl)carboxamide hydrochloride;
N-(2*H*-Benzo[3,4-*d*]1,3-dioxolen-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-Naphthyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-[3-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-Indan-2-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-[4-(tert-Butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(Methylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 Methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-[4-trifluoromethoxy]phenyl}carboxamide;
 N-(4-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-Butylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-Iodophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3-(Hydroxyethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 Ethyl 2-methyl-5-[3-((2-[(4-pyridylmethyl)amino](3-pyridyl)}carbonylamino)phenyl)]furan-3-carboxylate;
 N-(3-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(6-Ethyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(6-Propyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3-Hydroxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(Methylethyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3,5-bis(Trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-[4-Chloro-3-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-(3-Chlorophenyl){2-[(2-(4-pyridyl)ethyl)amino](3-pyridyl)}carboxamide hydrochloride;
 N-(4-Phenoxyphenyl){2-[(2-(2-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 2-[(Benzo[b]thiophen-3-ylmethyl)amino](3-pyridyl))-N-(4-phenoxyphenyl)carboxamide;
 N-(4-Phenoxyphenyl){2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(Methylsulfonyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(1-Acetylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-Indolin-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-Indol-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-Indol-5-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-Indol-7-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3-(tert-Butyl)pyrazol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Phenylpyrazol-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-{2-[2-(dimethylamino)ethoxy]-5-(tert-butyl)phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}formamide;
 N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
 N-[1-(2-Piperidylethyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[1-(2-Piperidylacetyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-(3,3-Dimethylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3-(1-Methyl-(4-piperidyl))indol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)phenyl]{2-[(2-[(1-methyl(4-piperidyl))-methoxy](4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;
 N-(4-Bromo-2-fluorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)phenyl]{2-[(2-chloro(4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;
 {2-[(2-[3-(Dimethylamino)prop-1-ynyl](4-pyridyl)methyl)amino](3-pyridyl))-N-[4-(tert-butyl)phenyl]carboxamide;
 (2-[(2-Methoxy(4-pyridyl)methyl)amino](3-pyridyl))-N-[4-(methylethyl)phenyl]carboxamide;
 N-[3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl]-{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[1-(2-Morpholin-4-ylethyl)indol-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
 N-[4-(tert-Butyl)phenyl]{2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-Chlorophenyl){2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;
 {2-[(Pyrimidin-4-ylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
 N-[4-(Isopropyl)phenyl]{4-[(4-pyridylmethyl)amino]pyrimidin-5-yl}carboxamide;
 (2-[(2-[2-(Dimethylamino)ethoxy]ethoxy)(4-pyridyl)methyl]amino)(3-pyridyl))-N-[4-(tert-butyl)phenyl]carboxamide;
 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-[4-[2,2,2-trifluoro-1-(2-piperidylethoxy)-1-(trifluoromethyl)ethyl]phenyl]carboxamide;
 (2-[(2-[2-(Dimethylamino)ethoxy]ethoxy)(4-pyridyl)methyl]amino)-6-fluoro(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
 N-[4-(tert-Butyl)phenyl]{6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

{6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-[4-(isopropyl)phenyl]carboxamide;
 {6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
 N-(1-Bromo(3-isoquinolyl)){6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-carboxamide;
 N-(4-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 N-(4-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 N-(3-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 N-(4-Cyclohexylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 N-(4-Imidazol-1-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(4-Morpholin-4-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 N-(4-Cyanonaphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide hydrochloride;
 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-[4-(trifluoromethyl)phenyl]carboxamide hydrochloride;
 Methyl-4-({2-[(4-pyridylmethyl)amino]-3-pyridyl)carbonylamino)benzoate hydrochloride;
 N-[4-(Isopropyl)phenyl]{2-[(4-quinolylmethyl)amino](3-pyridyl))carboxamide;
 N-[4-(tert-Butyl)phenyl]{2-[(6-quinolylmethyl)amino](3-pyridyl))carboxamide;
 {2-[(6-quinolylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
 N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl))carboxamide;
 N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl))carboxamide;
 N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))-carboxamide;
 N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl))carboxamide;
 N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl))carboxamide;
 N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl))-carboxamide;
 N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 {6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-(3-fluorophenyl)carboxamide;
 N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl))carboxamide;
 N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))carboxamide;
 N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl))carboxamide;

N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;
 N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;
 2-[[2-(1-Isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2,3-dihydro-benzofuran-5-ylmethyl)-amino]-nicotinamide;
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-methylpiperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-[2-(1-Methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-ethylpyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[(2-[2-(1-methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-[2-(1-Methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 N-(4-Pentafluoroethyl-phenyl)-2-[[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-(2-pyridin-4-yl-ethylamino)-nicotinamide;
 N-[3-(4-Methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 2-[(2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(1-Boc-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
 N-[3,3-Dimethyl-1-(1-Boc-pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
 2-[[2-(3-Morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 (S) 2-[[2-(1-Methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(3-morpholin-4-yl-propylamino)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)-nicotinamide;
 (R) N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(R) N-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-tert-Butyl-4-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(3-tert-butyl-isoxazol-5-yl)-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-((2-[3-(1-methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(3,9,9-trimethyl-2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluoren-6-yl)-nicotinamide;

N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-[[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-nicotinamide;

2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl)-amino)-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl)-amino)-nicotinamide;

2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(2,2-Dimethyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[[2-(1-Benzhydryl-azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)-nicotinamide.
 N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3-trifluoromethylphenyl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2,3-Dihydro-benzofuran-6-ylmethyl)-amino]-N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-
 nicotinamide;
 (R) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-
 nicotinamide;
 (S) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[4-tert-Butyl-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3-(1-Methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[4-Pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[4-Trifluoromethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 (S) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide; N-(3-
 Trifluoromethyl-phenyl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 Cu) N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide was
 prepared with pyridine and TEA at 90C.
 N-[3-(3-Piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
 N-[3-(3-Morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;
 N-[4-tert-Butyl-3-[2-(1-Boc-piperidin-4-yl)-ethyl]-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
 N-[4-tert-Butyl-3-(1-methyl-azetidin-3-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1•-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[1,1,4,4-Tetramethyl-1,2,3,4-tetrahydro-naphth-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-nicotinamide;
 N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-
 nicotinamide;

N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl]-amino]-nicotinamide;
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-[3,3-Dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
 N-[3,3-Dimethyl-1-(pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
 N-(4-Pentafluoroethyl-phenyl)-2-[(pyrimidin-4-ylmethyl)-amino]-nicotinamide;
 2-[[2-(Azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)nicotinamide;
 N-(2,3,3-Trimethyl-1,1-dioxo-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;
 N-[3,3-Dimethyl-1,1-dioxo-2-(2-piperidin-1-yl-ethyl)-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide; and
 N-[2-(2-Dimethylamino-ethyl)-3,3-dimethyl-1,1-dioxo-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide.

Claim 56 (original): Compound of Claim 1 wherein ring A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolyl, pyrazolyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl.

Claim 57 (original): Compound of Claim 1 wherein R is selected from substituted or unsubstituted, saturated or partially saturated 5-6 membered heterocyclyl, and substituted or unsubstituted saturated or partially saturated fused 9-, 10- or 11-membered heterocyclyl.

Claim 58 (original): Compound of Claim 1 wherein R¹ is selected from

- a) substituted or unsubstituted saturated or partially saturated 5-6 membered heterocyclyl, and
- b) substituted or unsubstituted saturated or partially saturated 9-11 membered fused heterocyclyl.

Claim 59 (original): Compound of Claim 58 wherein A is pyridyl.

Claim 60 (original): Compound of Claim 1 wherein R¹ is selected from non-nitrogen-containing heteroaryl.

Claim 61 (original): Compound of Claim 60 wherein R¹ is selected from pyranyl, furyl, thienyl, benzofuryl, and benzothienyl.

Claim 62 (original): Compound of Claim 1 wherein R¹ is substituted with a substituent selected from -OR³, -SR³, -SO₂R³, -CONHR³, -COR³, -NHR³, -SO₂NHR³, -NHC(O)OR³, -NHC(O)R³ and optionally substituted 5-6 membered heterocyclyl-C₁C₂-alkylenyl; and wherein R³ is selected from 5-6 membered heterocyclyl.

Claim 63 (new): A pharmaceutical composition comprising a pharmaceutically-acceptable inert carrier and an effective amount of a compound from any one of Claims 43-62.

Claim 64 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 43.

Claim 65 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 45.

Claim 66 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 47.

Claim 67 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 49.

Claim 68 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 51.